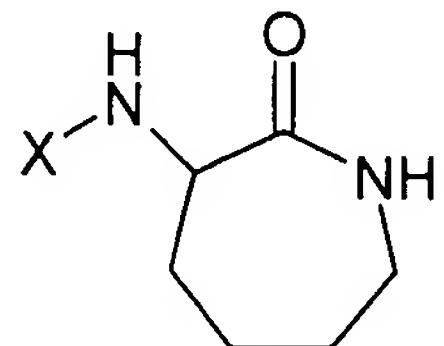


Claims

1. Use of a compound of general formula (I) or a pharmaceutically acceptable salt thereof, for the preparation of a medicament intended to treat an inflammatory disorder:



(I)

- wherein

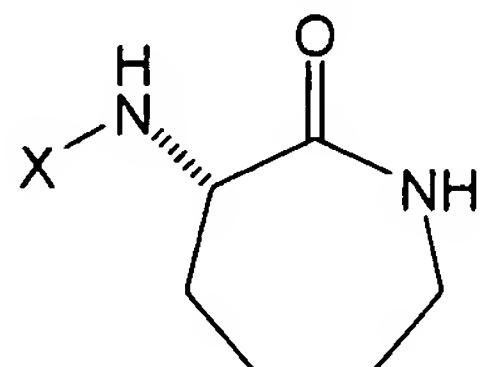
X is -CO-R<sup>1</sup> or -SO<sub>2</sub>-R<sup>2</sup>,

R<sup>1</sup> is an alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, of 13 to 17 carbon atoms.); and

R<sup>2</sup> is an alkyl radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, and of 13 to 17 carbon atoms); or

alternatively R<sup>1</sup> and R<sup>2</sup> are selected independently from a peptido radical having from 1 to 4 peptidic moieties linked together by peptide bonds.

2. Use of a compound of formula (I') or a pharmaceutically acceptable salt thereof, for the preparation of a medicament intended to treat an inflammatory disorder:

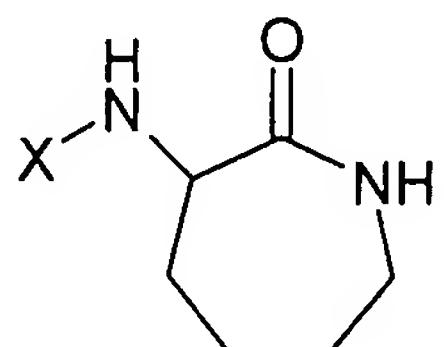


(I')

25

wherein X has the same meaning as above.

3. A pharmaceutical composition comprising, as active ingredient, a compound of formula (I) or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient and/or carrier:



(I)

wherein

X is  $-\text{CO-R}^1$  or  $-\text{SO}_2-\text{R}^2$ ,

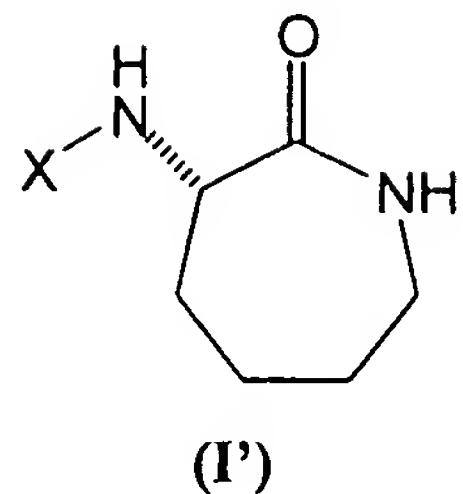
10  $\text{R}^1$  is an alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, of 13 to 17 carbon atoms.); and

15  $\text{R}^2$  is an alkyl radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, and of 13 to 17 carbon atoms); or

20 alternatively  $\text{R}^1$  and  $\text{R}^2$  may be selected independently from a peptido radical having from 1 to 4 peptidic moieties linked together by peptide bonds (for example a peptido radical of 1 to 4 amino acid residues).

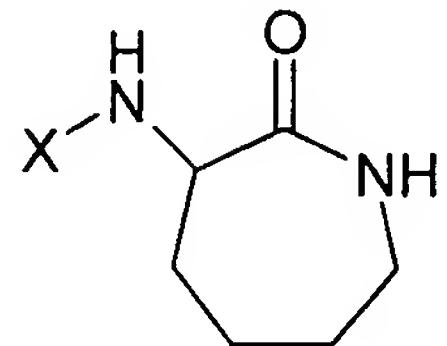
4. A pharmaceutically acceptable composition comprising active ingredient, a compound of formula (I') or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient and/or carrier:

60



(I')

5. A compound of general formula (I):



(I)

wherein

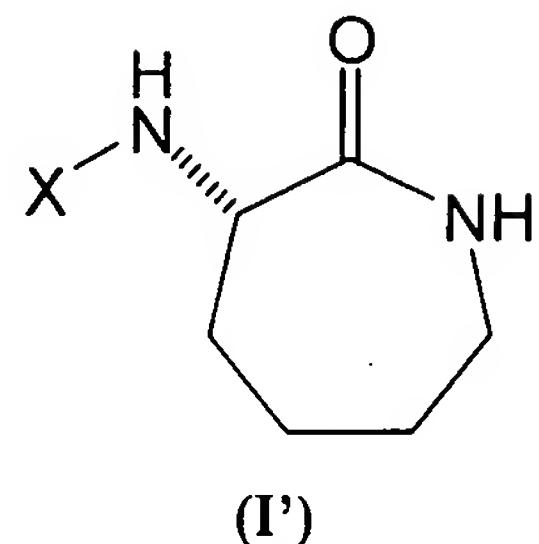
5    X is -CO-R<sup>1</sup> or -SO<sub>2</sub>-R<sup>2</sup>,

R<sup>1</sup> is an alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, 10 of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, of 13 to 17 carbon atoms.); and

R<sup>2</sup> is an alkyl radical of 4 to 20 carbon atoms (for example of 5 to 20 carbon atoms, of 8 to 20 carbon atoms, of 9 to 20 carbon atoms, of 10 to 18 carbon atoms, of 12 to 18 carbon atoms, of 13 to 18 carbon atoms, of 14 to 18 carbon atoms, and of 13 to 17 carbon atoms); or

alternatively R<sup>1</sup> and R<sup>2</sup> are selected independently from a peptido radical having from 1 to 4 peptidic moieties linked together by peptide bonds.

## 6. A compound of general formula (I'):



wherein X has the same meaning in Claim 5.

5     7. Compounds, compositions and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, according to any preceding claim, wherein the alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino part of the R<sup>1</sup> radical is linear.

10    8. Compounds, compositions and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, according to any of claims 1 to 6, wherein the alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino part of the R<sup>1</sup> radical is branched.

15    9. Compounds, compositions and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, according to any preceding claim, wherein the alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl or alkylamino part of the R<sup>1</sup> radical is either linear or is branched but contains a linear chain of at least 8 or at least 10 carbon atoms.

20    10. Compounds, compositions and uses according to claim 8 or 9 wherein the R<sup>1</sup> radical has an alpha-carbon (2-position in X) which is substituted with one or two of the same or different groups selected from: alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynyl and alkylamino radicals.

25    11. Compounds, compositions and uses according to claim 8, 9 or 10 wherein the R<sup>1</sup> radical has an alpha-carbon (2-position in X) which is di-substituted with the

same or different groups selected from: alkyl, haloalkyl, alkoxy, haloalkoxy, alkenyl, alkynl and alkylamino radicals.

12. Compounds, compositions and uses according to claim 10 or 11 wherein the  
5 alpha-carbon is chiral.

13. Compounds, compositions and uses according to claim 12 wherein the alpha-  
carbon has sp<sup>3</sup> hybridised bonds.

10 14. Compounds, compositions and uses according to claim 12 wherein the alpha-  
- carbon has essentially tetrahedral bond angles.

15. A use according to claim 1 or a pharmaceutical composition according to claim  
3, or a compound according to claim 5, wherein the compound is selected from the  
15 group consisting of:

- (S)-3-hexadecanoylamino-caprolactam;
- (S)-3-undecanoylamino-caprolactam;
- (S)-3-(undec-10-enoyl)amino-caprolactam;
- (S)-3-(undec-10-ynoyl)amino-caprolactam;
- 20 - (S)-3-dodecanoylamino-caprolactam;
- (S)-3-tetradecanoylamino-caprolactam;
- (R)-3-hexadecanoylamino-caprolactam;
- (S)-3-octadecanoylamino-caprolactam;
- (S)-(Z)-3-(hexadec-9-enoyl)amino-caprolactam;
- 25 - (S)-(Z)-3-(octadec-9-enoyl)amino-caprolactam;
- (R)-(Z)-3-(octadec-9-enoyl)amino-caprolactam;
- (S)-3-(2',2'-dimethyl-dodecanoyl)amino-caprolactam;
- (S)-3-(decyloxycarbonyl)amino-caprolactam;
- (S)-(E)-3-(dodec-2-enoyl)amino-caprolactam;
- 30 - (S)-3-(dec-9-enylaminocarbonyl)amino-caprolactam;
- (S)-3-(decylaminocarbonyl)amino-caprolactam;

and pharmaceutically acceptable salts thereof.

16. A use according to claim 1, or a pharmaceutical composition according to claim 3, or a compound according to claim 5, wherein the compound is selected from the group consisting of:

- (R)-3-(2',2'-Dimethyl-dodecanoyl)amino-caprolactam;
- 5 - (S)-3-(2',2'-Dimethyl-pentanoyl)amino-caprolactam;
- (S)-3-(2',2'-Dimethyl-pent-4-enoyl)amino-caprolactam;
- (S)-3-(2',2'-Dimethyl-propionyl)amino-caprolactam;
- (S)-3-(2',2'-Dimethyl-butyryl)amino-caprolactam;
- 10 - (S,E)-3-(2',2'-Dimethyl-dodec-4'-enoyl)amino-caprolactam;
- (S)-3-(2',2',5'-Trimethyl-hex-4'-enoyl)amino-caprolactam;
- (S)-3-(2',2',5'-Trimethyl-hexanoyl)amino-caprolactam;
- (S)-3-(11'-bromo-undecanoyl)amino-caprolactam;
- (S)-3-(11'-azido-undecanoyl)amino-caprolactam;
- (S) Sodium 3-(undecanoyl)amino-caprolactam 11'-sulfonate tetrahydrate;
- 15 - (S)-3-(Decanesulfonyl)amino-caprolactam;
- (S)-3-(Dodecanesulfonyl)amino-caprolactam;
- (S)-3-(Tetradecanesulfonyl)amino-caprolactam;
- (S)-3-(Hexadecanesulfonyl)amino-caprolactam;
- (S)-3-(Octadecanesulfonyl)amino-caprolactam;
- 20 and pharmaceutically acceptable salts thereof.

17. A use according to claim 1, or a pharmaceutical composition according to claim 3, or a compound according to claim 5 wherein the compound is selected from the group consisting of: (S)-3-hexadecanoylamino-caprolactam, (S)-3-(2',2'-dimethyl-dodecanoyl)amino-caprolactam, (S)-3-(2',2'-dimethyl-propionyl)amino-caprolactam and pharmaceutically acceptable salts thereof.

18. A use according to claim 1 or a pharmaceutical composition according to claim 3, or a compound according to claim 5, wherein the compound is selected from the 30 group consisting of:

- (S)-3-(2'-Propylpentanoyl)amino-caprolactam;
- (3S,2'R) and (3S,2'S)-3-(2'-Ethylhexanoyl)amino-caprolactam;
- (S)-3-(3',3'-Dimethyldodecanoyl)amino-caprolactam;

- (S)-(E)-3-(2'-Methyldodec-2'-enoyl)amino-caprolactam;
- (3S,2'R) and (3S,2'S)-3-(2'-Methyldodecanoyl)amino-caprolactam;
- (3S,2'S,3'R)-3-(3'-Hydroxy-2'-methyldecanoyl)amino-caprolactam;
- (3S,2'R,3'S)-3-(3'-Hydroxy-2'-methyldecanoyl)amino-caprolactam;
- 5 - (3S,3'R) and (3S,3'S)-3-(3'-Hydroxy-2',2'-dimethyldecanoyl)amino-caprolactam;
- (S)-(2',2'-Dimethyl-3'-hydroxy-propionyl)amino-caprolactam;
- (S)-(3'-Chloro-2'-(chloromethyl)-2'-methylpropionyl)amino-caprolactam;

and pharmaceutically acceptable salts thereof.

10 **19.** Use of a compound of formula (I) or (I') according to one of claims 1, 2, 15, 16, 17 and 18 wherein the inflammatory disorder is selected from the group consisting of autoimmune diseases, vascular disorders, viral infection or replication, asthma, osteoporosis (low bone mineral density), tumor growth, rheumatoid arthritis, organ transplant rejection and/or delayed graft or organ function, a disorder 15 characterised by an elevated TNF- $\alpha$  level, psoriasis, skin wounds, disorders caused by intracellular parasites, allergies, Alzheimer's disease, antigen induced recall response, immune response suppression, multiple sclerosis, ALS, fibrosis, and formation of adhesions.

20 **20.** A method of treatment, amelioration or prophylaxis of the symptoms of an inflammatory disease (including an adverse inflammatory reaction to any agent) by the administration to a patient of an anti-inflammatory amount of a compound, composition or medicament as claimed in any of claims 1 to 18.

25 **21.** Compounds, compositions, and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, or a method of treatment according to any preceding claim except claim 7, wherein the substituent R<sup>1</sup> is not a straight chain alkyl group.

30 **22.** Compounds, compositions, and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, or a method of treatment according to any preceding claim except claim 7, wherein the substituent R<sup>1</sup> is a branched chain alkyl group.

23. Compounds, compositions, and uses of the compounds of general formula (I) or (I'), or their pharmaceutically acceptable salts, or a method of treatment according to any preceding claim wherein the substituent R<sup>1</sup> is not an alkyl group.

5

24. A pharmaceutical composition for treatment of an inflammatory disorder comprising, as active ingredient, (S,S) N,N'-bis-(2'-oxo-azepan-3'-yl) 2,2,6,6-tetramethylheptadiamide or a pharmaceutically acceptable salt thereof, and at least one pharmaceutically acceptable excipient and/or carrier.

10

- 25. A synthetic intermediate, useful in the synthesis of compounds of general formula (I) or (I'), selected from the group consisting of:

- (E)-Methyl 2,2-dimethyl-dodec-4-enoate;  
- (E)-2,2-Dimethyl-dodec-4-enoyl chloride;

15 - Methyl 2,2,5-trimethyl-hex-4-enoate;  
- 2,2,5-Trimethyl-hex-4-enoyl chloride;  
- 3,3-Dimethyldodecanoic acid;  
- 3,3-Dimethyldodecanoyl chloride;

20 - (E)-Ethyl 2-methyldodec-2-enoate;  
- (E)-2-Methyldodec-2-enoic acid;  
- (E)-2-Methyldodec-2-enoyl chloride;

- (4S,2'S,3'R)-4-Benzyl-3-(3'-hydroxy-2'-methyldecanoyl)-oxazolidin-2-one;  
- (4R,2'R,3'S)-4-Benzyl-3-(3'-hydroxy-2'-methyldecanoyl)-oxazolidin-2-one;

25 - (2S,3R)-3-Hydroxy-2-methyldecanoic acid;

- (2R,3S)-3-Hydroxy-2-methyldecanoic acid;  
- Methyl 2,2-dimethyl-3-hydroxy decanoate;  
- 2,2-Dimethyl-3-hydroxy decanoic acid;  
- 2,2-Dimethyl-3-(tetrahydropyran-2-yloxy)-propionic acid;

and pharmaceutically acceptable salts thereof.

30

26. A use according to claim 1, or a pharmaceutical composition according to claim 3, or a compound according to claim 5, wherein the compound is

(S)-3-(1',1'-dimethylundecanesulfonyl)amino-caprolactam or a pharmaceutically acceptable salt thereof.